Formula XII


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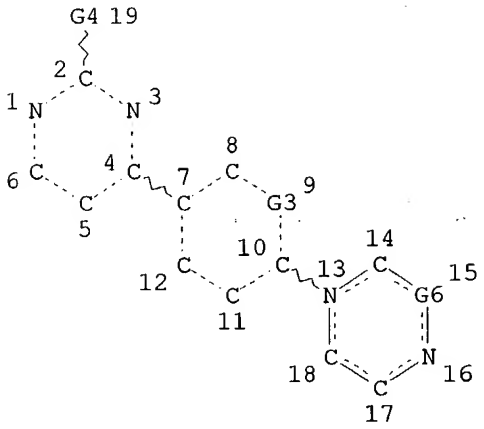

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VAR G4=NH2/20/23
VAR G5=AK/25/26/27
VAR G6=CH2/28
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  29

STEREO ATTRIBUTES: NONE
L5            12 SEA FILE=REGISTRY SSS FUL L3
L6             5 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L5


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L6   ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2003:506581  HCAPLUS
DOCUMENT NUMBER:          139:69280
TITLE:                    Preparation of 4-arylchinazolines as inhibitors of the
                          sodium-proton exchanger NHE3
PATENT ASSIGNEE(S):       Merck Patent GmbH, Germany
SOURCE:                   Ger. Offen., 50 pp.
                          CODEN: GWXXBX
DOCUMENT TYPE:            Patent
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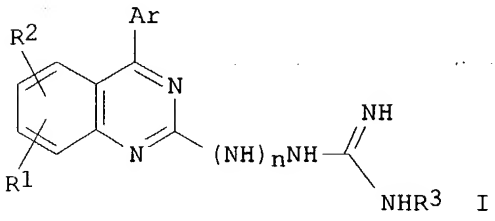
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10163992	A1	20030703	DE 2001-10163992	20011224
WO 2003055490	A1	20030710	WO 2002-EP13530	20021129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1458396	A1	20040922	EP 2002-805750	20021129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: DE 2001-10163992 A 20011224
WO 2002-EP13530 W 20021129

OTHER SOURCE(S): MARPAT 139:69280
GI

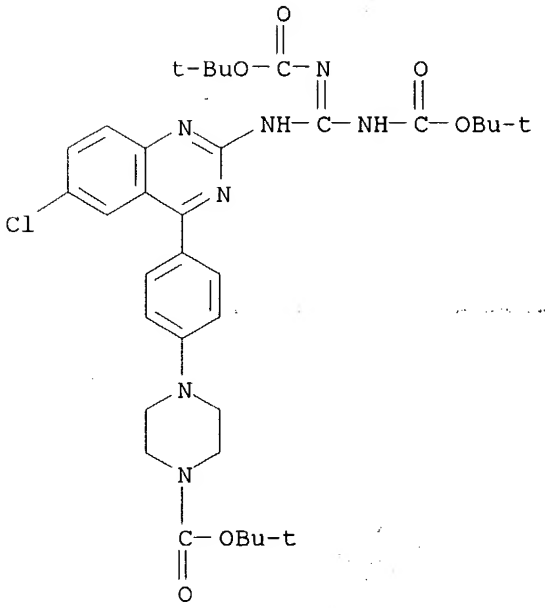


I

AB 4-Arylquinazolines I [Ar = (un)substituted Ph, naphthyl; R1, R2 = H, halogen, alkyl, alkoxy, CF3, OH, NO2, alkylthio, alkylsulfinyl, alkylsulfonyl, CN, OCF3, acyl, (un)substituted NH2, CO2H, CONH2, SO2NH2, Ph; R3 = H, alkyl, OH, NO2, (un)substituted Ph, C(:NH)NH2, protective group] were prepared as inhibitors of the sodium-proton exchanger NHE3. Thus, 2,6-dichloro-4-(4-methylphenyl)quinazoline was treated with H2NNHC(:NH)NH2 to give I.HCl [Ar = 4-MeC6H4, R1, R3 = H, R2 = 6-Cl].

IT **552287-34-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-arylchinazolines as inhibitors of the sodium-proton exchanger NHE3)

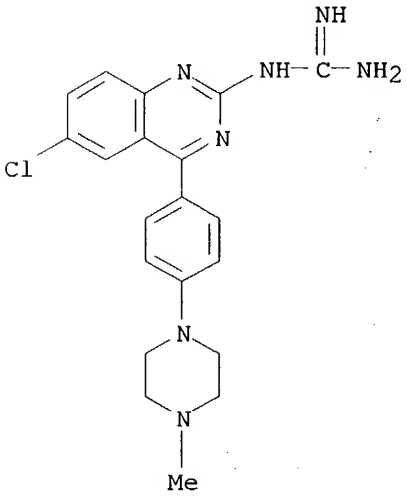
RN 552287-34-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[2-[[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-6-chloro-4-quinazolinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **552287-41-9P 552287-42-0P 552287-46-4P**
552287-50-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-arylchinazolines as inhibitors of the sodium-proton exchanger NHE3)

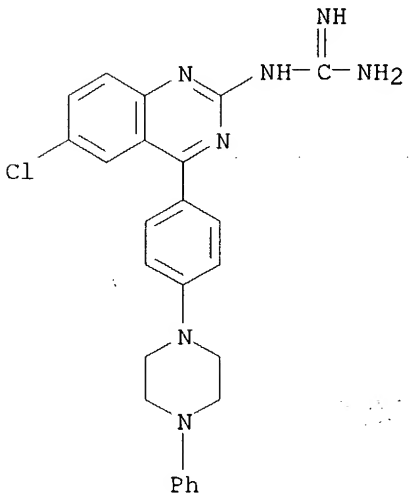
RN 552287-41-9 HCAPLUS

CN Guanidine, [6-chloro-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-quinazolinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



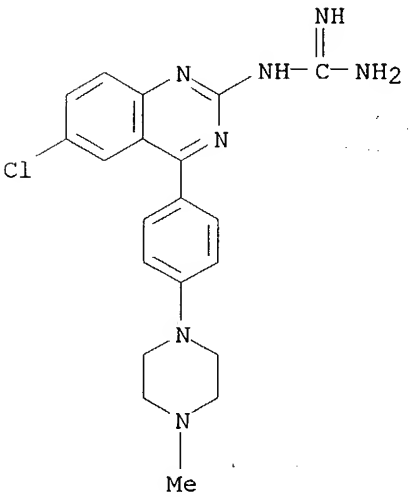
● 3 HCl

RN 552287-42-0 HCAPLUS
CN Guanidine, [6-chloro-4-[4-(4-phenyl-1-piperazinyl)phenyl]-2-quinazolinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

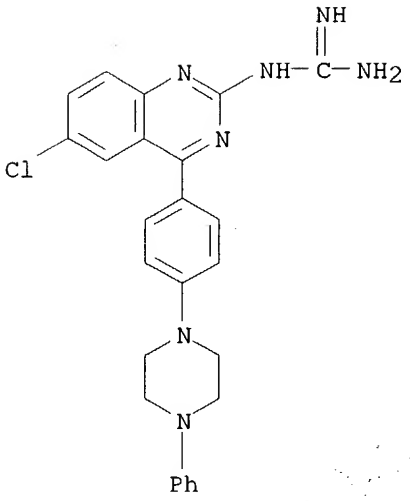


● 3 HCl

RN 552287-46-4 HCAPLUS
CN Guanidine, [6-chloro-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-quinazolinyl]-(9CI) (CA INDEX NAME)



RN 552287-50-0 HCAPLUS
CN Guanidine, [6-chloro-4-[4-(4-phenyl-1-piperazinyl)phenyl]-2-quinazolinyl]-(9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814853 HCAPLUS
DOCUMENT NUMBER: 137:325431
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002156087	A1	20021024	US 2001-949035		20010906
US 6417185	B1	20020709	US 1999-336038		19990618
PRIORITY APPLN. INFO.:			US 1999-336038	A2	19990618
			US 2000-230480P	P	20000906
			US 1998-89978P	P	19980619

OTHER SOURCE(S): MARPAT 137:325431
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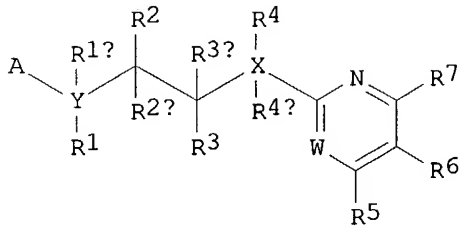

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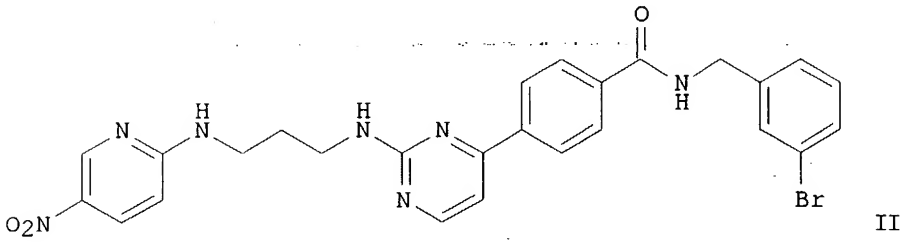


II

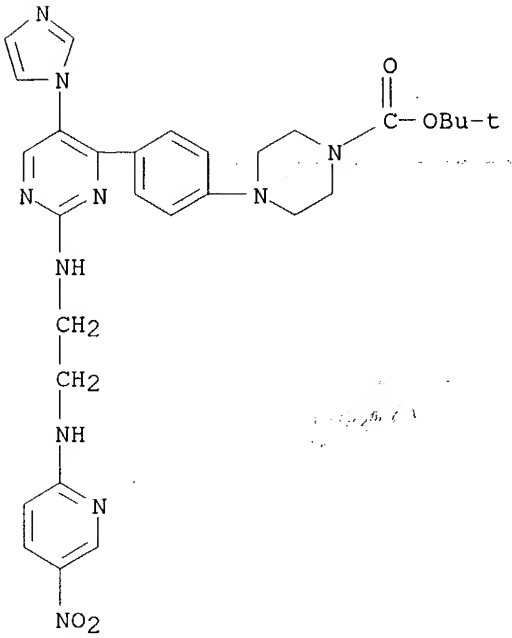
AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **252936-19-9P**, 1-Piperazinecarboxylic acid, 4-[4-[5-(1H-imidazol-1-yl)-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl ester **252936-26-8P**, 1,2-Ethanediamine, N-[5-(1H-imidazol-1-yl)-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-pyrimidinyl]-N'-(5-nitro-2-pyridinyl)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

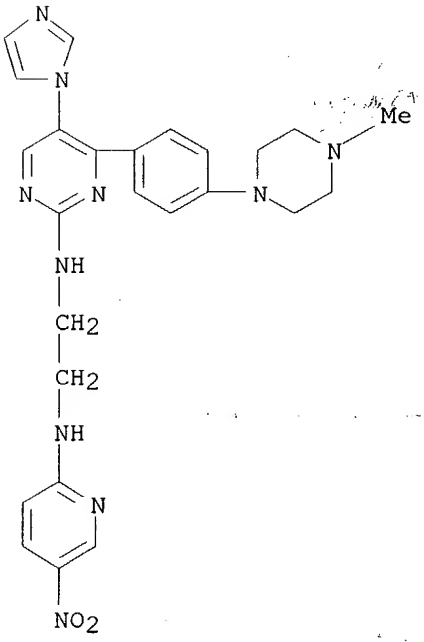
RN 252936-19-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[5-(1H-imidazol-1-yl)-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl

ester (9CI) (CA INDEX NAME)



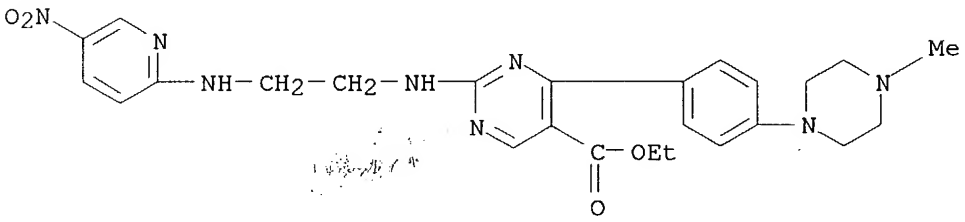
RN 252936-26-8 HCAPLUS
CN 1,2-Ethanediamine, N-[5-(1H-imidazol-1-yl)-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-pyrimidinyl]-N'-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



IT **403808-28-6**, Ethyl 4-[4-(4-methylpiperazinyl)phenyl]-2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidine-5-carboxylate
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403808-28-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4-(4-methyl-1-piperazinyl)phenyl]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:185092 HCAPLUS
DOCUMENT NUMBER: 136:247598
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095026	A5	20020322	AU 2001-95026	20010906
EP 1317433	A2	20030611	EP 2001-975734	20010906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2004514656 T2 20040520 JP 2002-525117 20010906
PRIORITY APPLN. INFO.: US 2000-230480P P 20000906
WO 2001-US42081 W 20010906
OTHER SOURCE(S): MARPAT 136:247598
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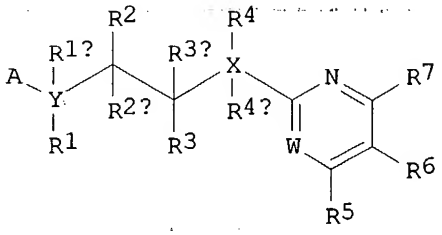

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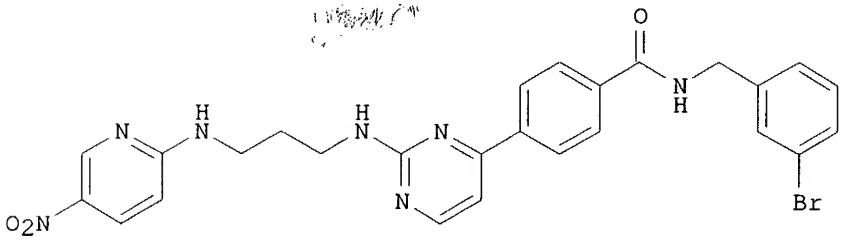


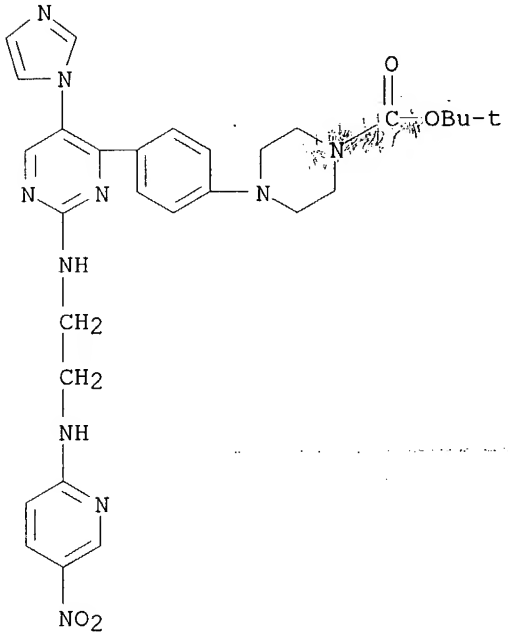
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3β in a cell free assay with IC50 values of < 1 μM. Thus, I and compns. containing I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

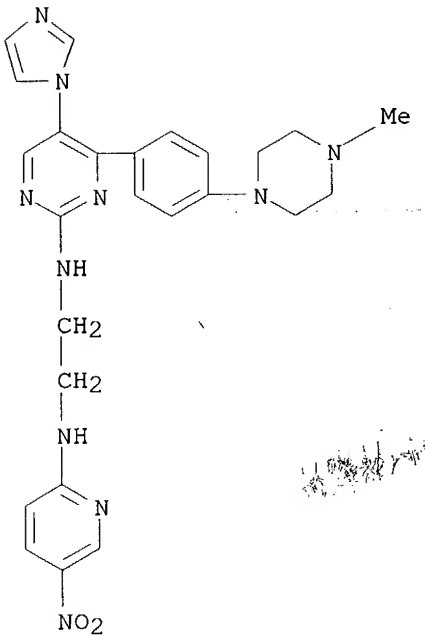
IT **252936-19-9P**, 1-Piperazinecarboxylic acid, 4-[4-[5-(1H-imidazol-1-yl)-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl ester **252936-26-8P**, 1,2-Ethanediamine, N-[5-(1H-imidazol-1-yl)-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-

pyrimidinyl]-N'-(5-nitro-2-pyridinyl)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252936-19-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[5-(1H-imidazol-1-yl)-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



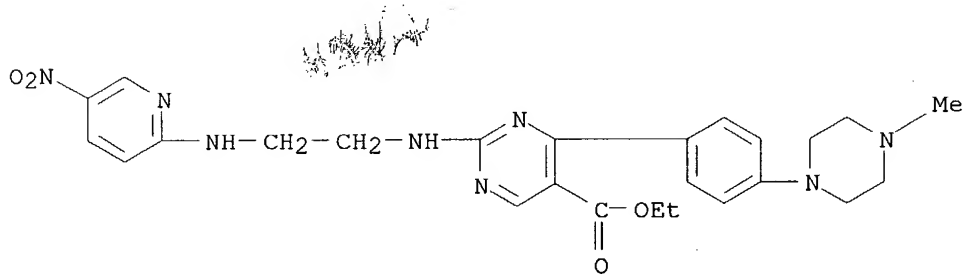
RN 252936-26-8 HCAPLUS
CN 1,2-Ethanediamine, N-[5-(1H-imidazol-1-yl)-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-pyrimidinyl]-N'-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



IT **403808-28-6**, Ethyl 4-[4-(4-methylpiperazinyl)phenyl]-2-[[2-[[5-nitro-2-pyridyl]amino]ethyl]amino]pyrimidine-5-carboxylate
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403808-28-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[4-(4-methyl-1-piperazinyl)phenyl]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



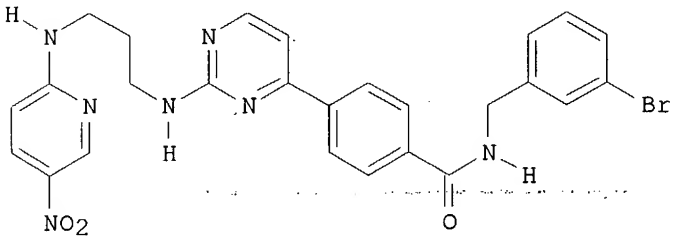
L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:811233 HCAPLUS
DOCUMENT NUMBER: 132:64265
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman,

Allan S.; Zhou, Xiaohui A.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965897	A1	19991223	WO 1999-US13809	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9949566	A1	20000105	AU 1999-49566	19990618
EP 1087963	A1	20010404	EP 1999-933522	19990618
EP 1087963	B1	20040825		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6489344	B1	20021203	US 1999-336098	19990618
JP 2003527303	T2	20030916	JP 2000-554722	19990618
AT 274510	E	20040915	AT 1999-933522	19990618
US 2003130289	A1	20030710	US 2002-309535	20021203

PRIORITY APPLN. INFO.:	US 1998-89978P	P 19980619
	US 1999-336098	A3 19990618
	WO 1999-US13809	W 19990618

OTHER SOURCE(S): MARPAT 132:64265
GI



II

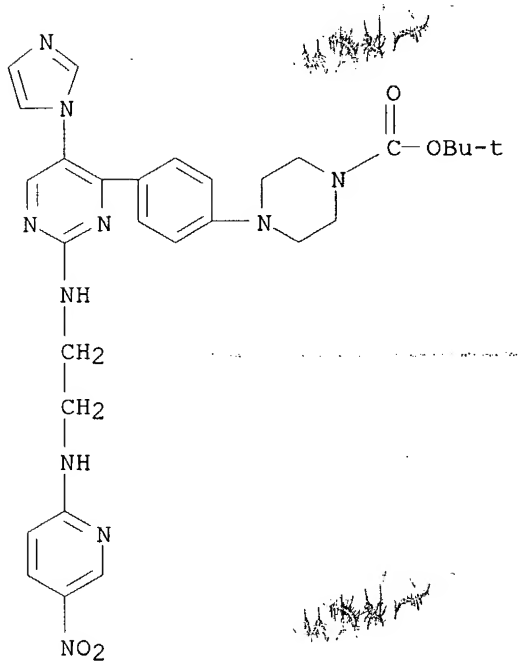
AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 = (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepared Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine which was cyclocondensed with resin-bound

4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage, title compound II. Data for biol. activity of I were given.

IT **252936-19-9P 252936-26-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

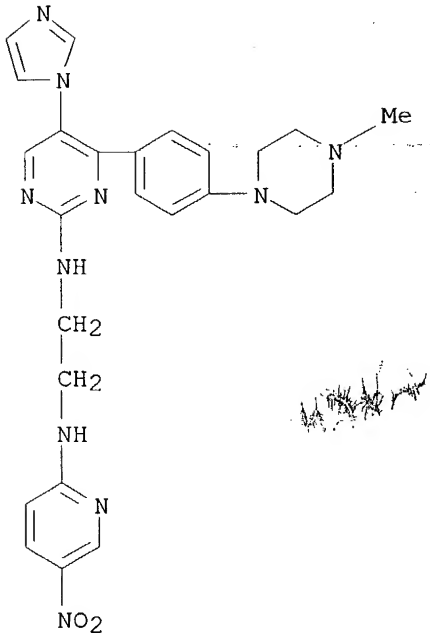
RN 252936-19-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[5-(1H-imidazol-1-yl)-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-4-pyrimidinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 252936-26-8 HCAPLUS

CN 1,2-Ethanediamine, N-[5-(1H-imidazol-1-yl)-4-[4-(4-methyl-1-piperazinyl)phenyl]-2-pyrimidinyl]-N'-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:180868 HCAPLUS
DOCUMENT NUMBER: 128:230386
TITLE: Preparation of substituted 2-pyrimidineamines as protein kinase inhibitors
INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James
PATENT ASSIGNEE(S): Celltech Therapeutics Ltd., UK; Davis, Peter David; Moffat, David Festus Charles; Batchelor, Mark James
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

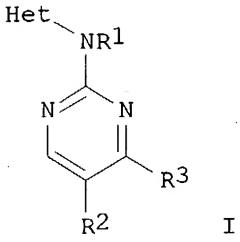
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811095	A1	19980319	WO 1997-GB2486	19970912
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743083	A1	19980402	AU 1997-43083	19970912
EP 929549	A1	19990721	EP 1997-919147	19970912

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2001500153	T2	20010109	JP 1998-513394		19970912
US 6093716	A	20000725	US 1997-931271		19970915
PRIORITY APPLN. INFO.:			GB 1996-19284	A	19960916
			WO 1997-GB2486	W	19970912

OTHER SOURCE(S): MARPAT 128:230386

GI



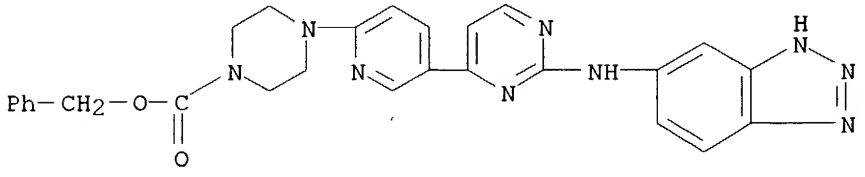
I

AB The title compds. [I; Het = (un)substituted heteroaryl; R1 = H, alkyl; R2 = H, halo, X1R4; X1 = bond, a linker atom or group; R3 = (un)substituted (hetero)aryl; R4 = (un)substituted alkyl, alkenyl, alkynyl] and their salts, solvates, hydrates and N-oxides were prepared I are selective inhibitors particularly of the kinases p56lck, ZAP-70 and protein kinase C and are useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role. Pharmaceutical compns. containing I are also claimed. For example, refluxing a mixture of 5-amino-2-methylbenzothiazole and cyanamide in aqueous EtOH in the presence of HNO3 gave 5-guanidino-2-methylbenzothiazole nitrate which was refluxed with 1-(2-chloro-5-pyridyl)-3-dimethylamino-2-propen-1-one in Me2CHOH in the presence of NaOH to give 4-(2-chloro-5-pyridyl)-N-(2-methylbenzothiazol-5-yl)-2-pyrimidineamine.

IT **204771-78-8P 204772-02-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 2-pyrimidineamines as protein kinase inhibitors)

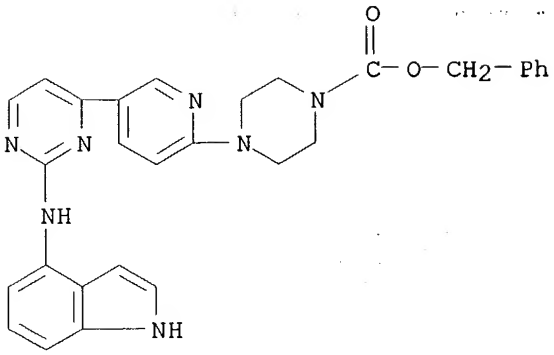
RN 204771-78-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[2-(1H-benzotriazol-5-ylamino)-4-pyrimidinyl]-2-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 204772-02-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[2-(1H-indol-4-ylamino)-4-pyrimidinyl]-2-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT